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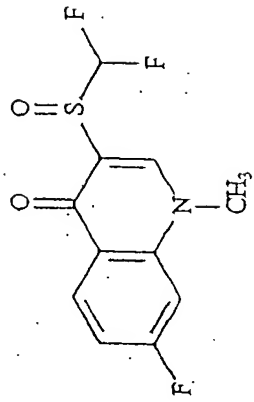
⑤ TOO many dots

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✓

B



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A

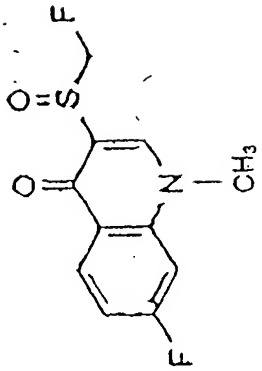


FIG. 1

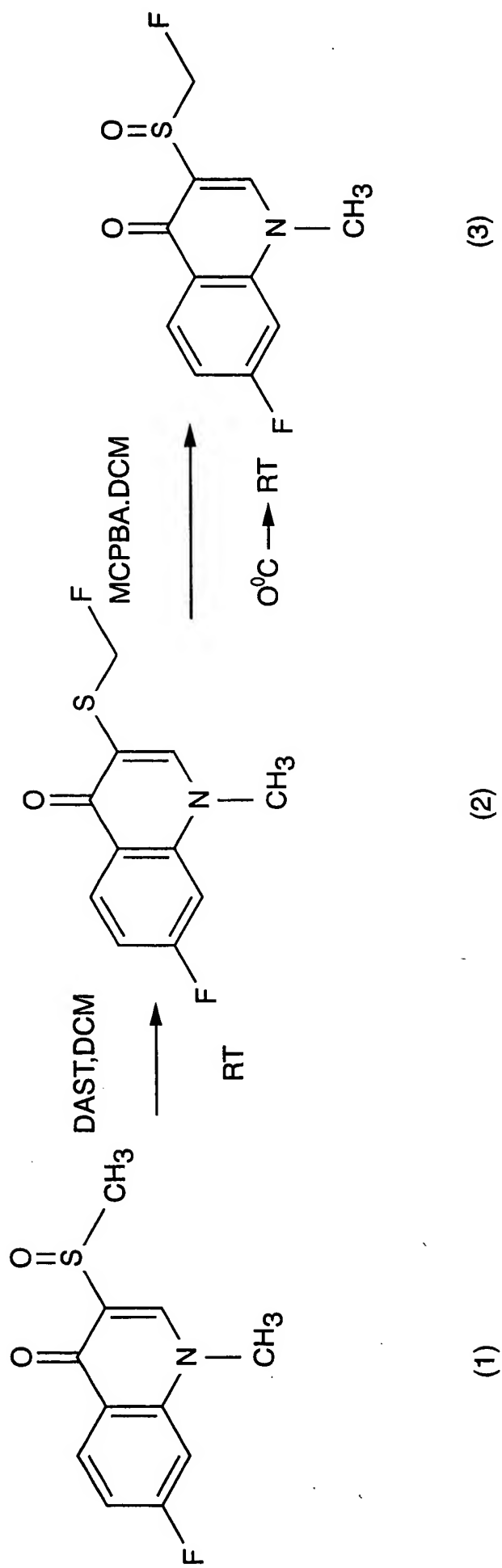
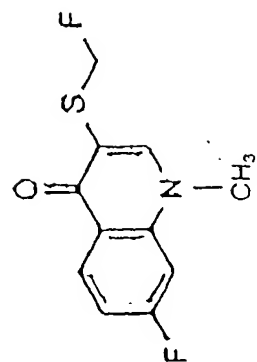


FIG. 2

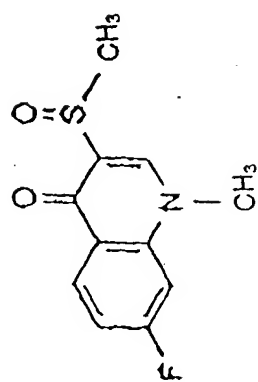


(2)

DAST, SbCl₃, DCM



RT



(1)

FIG. 3

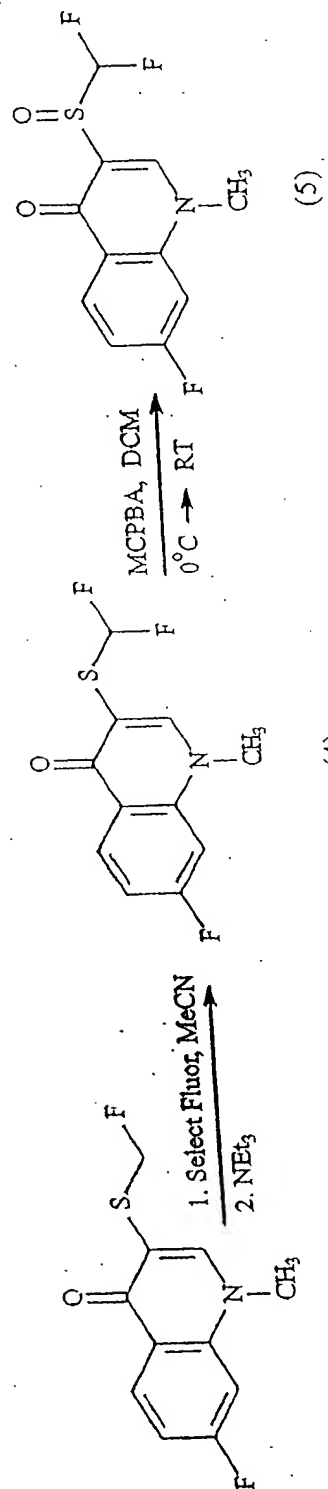


FIG. 4

CAT.#	TARGET	BATCH	SPP.	n=	CONC.	% INHIBITION	IC ₅₀	K _i	D _H	R
						% ↓ ↓ ↓ ↓ ↓ ↓ ↓ ↓ ↓ ↓				
146000	Phosphodiesterase PDE1	45373	bov	2	100 µM	29				
148000	Phosphodiesterase PDE2	45375	hum	2	100 µM	10				
152000	Phosphodiesterase PDE3	45376	hum	2	100 µM	2				
154000	Phosphodiesterase PDE4	45253	hum	2	100 µM	12				
156000	Phosphodiesterase PDE5	45452	hum	2	100 µM	4				
156100	Phosphodiesterase PDE6	45453	bov	2	100 µM	23				
180010	Protein Serine/Threonine Kinase PKCα	45273	hum	2	1000 µM	10				
178010	Protein Serine/Threonine Kinase PKC, Non-Selective	45090	rat	2	1000 µM	74				
		45491	rat	2	300 µM	54				
				2	100 µM	50				
214510	Calcium Channel Type L, Benzoethiazepine	45139	rat	2	1000 µM	13				
214600	Calcium Channel Type L, Dihydropyridine	45167	rat	2	1000 µM	27				
215000	Calcium Channel Type L, Phenylalkylamine	45262	rat	2	1000 µM	7				
242500	Inositol Trisphosphate IP ₃	45039	rat	2	1000 µM	17				

*Batch: Represents compounds tested concurrently in the same assay(s).

♦ Denotes item meeting criteria for significance

*Results with ≥ 50% stimulation or inhibition are boldfaced. (Negative values correspond to stimulation of binding or enzyme activity)

R=Additional Comments

bov=bovine; hum=human

FIG. 5

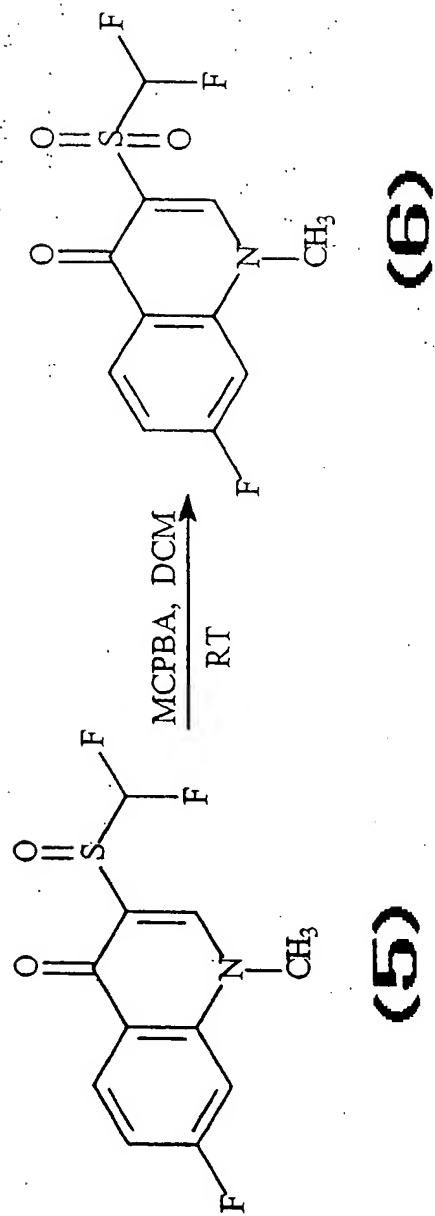


FIG. 6

CAT. #	TARGET	BATCH	SPP.	n=	CONC.	% INHIBITION										IC ₅₀								
						50	55	45	17	12	-7	66	55	44	6		-1	25	28	24	64	57	24	0
♦ 146000	Phosphodiesterase PDE1	47821	bov	2	1000 µM	50	55	45	17	12	-7	66	55	44	6	-1	25	28	24	64	57	24	0	-2
♦		48192	bov	2	1000 µM																			
				2	100 µM																			
				2	10 µM																			
				2	1 µM																			
148000	Phosphodiesterase PDE2	47677	hum	2	1000 µM																			
♦ 152000	Phosphodiesterase PDE3	47676	hum	2	1000 µM																			
♦		48194	hum	2	1000 µM																			
				2	100 µM																			
				2	10 µM																			
				2	1 µM																			
154000	Phosphodiesterase PDE4	47675	hum	2	1000 µM																			
156000	Phosphodiesterase PDE5	47674	hum	2	1000 µM																			
156100	Phosphodiesterase PDE6	47672	bov	2	1000 µM																			
178010	Protein Serine/Threonine Kinase PKC, Non-Selective	47916	rat	2	1000 µM																			
♦		48199	rat	2	1000 µM																			
♦				2	100 µM																			
				2	10 µM																			
				2	1 µM																			

FIG. 7

CAT. #	TARGET	BATCH	SPP.	n=	CONC.	% INHIBITION	IC ₅₀
						<div> <div>100</div> <div>50</div> <div>0</div> <div>50</div> <div>100</div> </div> <div> <div>↓</div> <div>↓</div> <div>↓</div> <div>↓</div> <div>↓</div> </div>	
◆ 146000	Phosphodiesterase PDE1	47821	bov	2	1000 μM	50	385 μM
◆		48192	bov	2	1000 μM	55	
				2	100 μM	45	
				2	10 μM	17	
				2	1 μM	12	
148000	Phosphodiesterase PDE2	47677	hum	2	1000 μM	-7	418 μM
◆ 152000	Phosphodiesterase PDE3	47676	hum	2	1000 μM	66	
◆		48194	hum	2	1000 μM	55	
				2	100 μM	44	
				2	10 μM	6	
				2	1 μM	-1	644 μM
154000	Phosphodiesterase PDE4	47675	hum	2	1000 μM	25	
156000	Phosphodiesterase PDE5	47674	hum	2	1000 μM	28	
156100	Phosphodiesterase PDE6	47672	bov	2	1000 μM	24	
178010	Protein Serine/Threonine Kinase PKC, Non-Selective	47916	rat	2	1000 μM	64	
◆		48199	rat	2	1000 μM	57	644 μM
◆				2	100 μM	24	
				2	10 μM	0	
				2	1 μM	-2	

FIG.8